# /0/S21,119 EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	850	(544/242,514/255.05).CCLS.	US-PGPUB; USPAT	OR .	OFF ·	2007/07/03 16:25
L2	10	L1 AND N-PHENYL	US-PGPUB; USPAT	OR	ON	2007/07/03 15:30
L3	1	L2 AND DIMETHYLPIPERAZINE	US-PGPUB; USPAT	OR	ON	2007/07/03 15:31
L4	1	.("6673799").PN.	US-PGPUB; USPAT	OR ·	OFF	2007/07/03 15:37
Ĺ5	. 1	("3875229").PN.	US-PGPUB; USPAT	OR	OFF	2007/07/03 15:37
L6 .	1667	(544/295,514/255.05).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/03 16:25
L7	27	16 and n-phenyl	US-PGPUB; USPAT	OR	ON	2007/07/03 16:25
L8	2	I7 and dimethylpiperazine	US-PGPUB; USPAT	OR	ON	2007/07/03 16:26

744243430

# Scarch after election - no hits

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSPTAEAL1624

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* SESSION RESUMED IN FILE 'HOME' AT 15:01:15 ON 03 JUL 2007

FILE 'HOME' ENTERED AT 15:01:15 ON 03 JUL 2007

COST IN U.S. DOLLARS SINCE FILE TOTAL

SESSION ENTRY 0.63 0.63

FULL ESTIMATED COST

=> FILE REG

COST IN U.S. DOLLARS SINCE FILE . TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.63 0.63

FILE 'REGISTRY' ENTERED AT 15:01:27 ON 03 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 JUL 2007 HIGHEST RN 940883-34-1 HIGHEST RN 940883-34-1 DICTIONARY FILE UPDATES: 2 JUL 2007

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10521119election.str

STRUCTURE UPLOADED Ll

≐> D L1

L1 HAS NO ANSWERS

STR

G1 Me, CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, CN, X

G2 H, Cl, Br, F, I, At, MeO, EtO

G3 H,Ak

G4 C, N

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 15:02:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15 TO ITERATE

100.0% PROCESSED

15 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 68 TO 532

PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> S L1 FULL

FULL SEARCH INITIATED 15:02:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 288 TO ITERATE

100.0% PROCESSED 288 ITERATIONS 242 ANSWERS

SEARCH TIME: 00.00.01

L3 242 SEA SSS FUL L1

=> FILE CAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 172.10 172.73

FILE 'CAPLUS' ENTERED AT 15:02:10 ON 03 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

<12/04/2007>

Erich Leese

### COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 3 Jul 2007 VOL 147 ISS 2 FILE LAST UPDATED: 2 Jul 2007 (20070702/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> S L3 FULL

L4 5 L3

=> D IBIB ABS HITSTR TOT

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1343030 CAPLUS

DOCUMENT NUMBER:

144:246522

TITLE:

(+) - (2R, 5S) -4 - [4-Cyano-3-(trifluoromethyl)phenyl] -2,5-

dimethyl-N-[6-(trifluoromethyl)pyridin-3-

yl]piperazine-1-carboxamide (YM580) as an Orally Potent and Peripherally Selective Nonsteroidal

Androgen Receptor Antagonist

AUTHOR (S):

Kinoyama, Isao; Taniguchi, Nobuaki; Toyoshima, Akira; Nozawa, Eisuke; Kamikubo, Takashi; Imamura, Masakazu; Matsuhisa, Akira; Samizu, Kiyohiro; Kawanimani, Eiji; Niimi, Tatsuya; Hamada, Noritaka; Koutoku, Hiroshi; Furutani, Takashi; Kudoh, Masafumi; Okada, Minoru;

Ohta, Mitsuaki; Tsukamoto, Shin-Ichi

CORPORATE SOURCE:

Drug Discovery Research, Astellas Pharma Inc.,

Ibaraki, 305-8585, Japan

SOURCE:

Journal of Medicinal Chemistry (2006), 49(2), 716-726

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 144:246522

GI

AΒ Trans-N-aryl-2,5-dimethylpiperazine-1-carboxamides such as I are prepared as androgen receptor antagonists; I is found to be particularly effective at inhibiting human androgen receptor-mediated transcription and is evaluated in vitro and in vivo to determine its activity and pharmacol. N-aryl-2,5-dimethylpiperazine-1-carboxamides are prepared by reaction of aromatic amines with Ph chloroformate followed by reaction of the Ph carbamate intermediates with 1-(4-cyano-3-trifluoromethylphenyl)-trans-2,5dimethylpiperazine; the piperazinecarboxamides are also prepared by conversion of arylcarboxylic acids to the corresponding acyl azides followed by Curtius rearrangement and reaction of the intermediate isocyanates with 1-(4-cyano-3-trifluoromethylphenyl)-trans-2,5dimethylpiperazine. The enantiomers of trans-1-(4-cyano-3trifluoromethylphenyl)-trans-2,5-dimethylpiperazine react with the isocyanate generated from 6-(trifluoromethyl)-3-pyridinecarboxylic acid to yield I and its enantiomer; I is significantly more active as an androgen receptor antagonist than its enantiomer. Unlike the known antiandrogenic agent bicalutamide II, I decreases the weight of rat ventral prostate in a dose-dependent manner (ED50 = 2.2 mg/kg/day), and induces its maximum antiandrogenic effect (comparable to that of surgical castration) without significantly affecting serum testosterone levels. I is a promising clin. candidate for prostate cancer monotherapy.

I

IT 375362-01-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and in vitro and in vivo activities of trans-4-aryl-2,5-dimethylpiperazinecarboxamides as human androgen receptor antagonists) 375362-01-9 CAPLUS

RN 375362-01-9 CAPLUS
CN 2-Pyridinecarboxylic acid, 5-[[[(2R,5S)-4-[4-cyano-3-

(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-,
methyl ester, rel- (9CI) (CA INDEX NAME)

TT 262294-49-5P 262294-50-8P 262294-83-7P 262295-20-5P 375360-32-0P 876460-28-5P 876460-29-6P 876460-30-9P 876460-31-0P 876460-32-1P 876460-33-2P 876460-34-3P 876460-35-4P 876460-36-5P 876460-37-6P 876460-38-7P 876460-39-8P 876460-40-1P 876460-41-2P 876460-42-3P 876460-43-4P 876460-45-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and in vitro and in vivo activities of trans-4-aryl-2,5-dimethylpiperazinecarboxamides as human androgen receptor antagonists) 262294-49-5 CAPLUS

RN 262294-49-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5dimethyl-N-(6-methyl-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-50-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-83-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-chloro-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-20-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylthio)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 375360-32-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 876460-28-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-pyrimidinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-29-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyrimidinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-30-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-5-pyrimidinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-31-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-methoxy-3-pyridinyl)-2,5-dimethyl-, monohydrochloride, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 876460-32-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(6-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-33-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-acetyl-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-34-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-3-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

HCl

RN 876460-35-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 876460-36-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-37-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-methyl-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-38-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(5-methyl-3-pyridinyl)-, monohydrochloride, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 876460-39-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(5-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-40-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(1,6-dihydro-6-oxo-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-41-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-cyano-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-42-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 876460-43-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(1-oxido-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 876460-45-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-amino-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

IT 262294-46-2P 262294-94-0P 876460-44-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and in vitro and in vivo activities of trans-4-aryl-2,5-dimethylpiperazinecarboxamides as human androgen receptor antagonists)

RN 262294-46-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-3-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-94-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, rel-(9CI) (CA INDEX NAME)

RN 876460-44-5 CAPLUS

CN Carbamic acid, [5-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-2-pyridinyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 262294-30-4

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation and in vitro and in vivo activities of trans-4-aryl-2,5-dimethylpiperazinecarboxamides as human androgen receptor antagonists and comparison of their activities to that of an initial lead compound)

RN 262294-30-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

IT 262295-11-4P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of a nonracemic aryldimethylpiperazinecarboxamide as a human androgen receptor antagonist, comparison of its activity to that of its enantiomer, and comparison of its activity and pharmacol. to those of bicalutamide)

RN 262295-11-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethy1)pheny1]-2,5-dimethyl-N-[6-(trifluoromethy1)-3-pyridiny1]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 876460-47-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of both enantiomers of a aryldimethylpiperazinecarboxamide as human androgen receptor antagonists and comparison of their androgen receptor antagonist activities)

RN 876460-47-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:446498 CAPLUS

DOCUMENT NUMBER: 143:71003

TITLE: N-arylpiperazine-1-carboxamide derivatives: A novel

series of orally active nonsteroidal androgen receptor

antagonists

AUTHOR(S): Kinoyama, Isao; Taniguchi, Nobuaki; Kawaminami, Eiji;

Nozawa, Eisuke; Koutoku, Hiroshi; Furutani, Takashi;

Kudoh, Masafumi; Okada, Minoru

CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi

Pharmaceutical Co., Ltd., Ibaraki, 305-8585, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (2005), 53(4),

402-409

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

GI

An novel series of N-arylpiperazine-1-carboxamide derivs. was synthesized and their androgen receptor (AR) antagonist activities and in vivo antiandrogenic properties were evaluated. Reporter assays indicated that trans-2,5-dimethylpiperazine derivs. are potent AR antagonists, and in this series trans-N-4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethylpiperazine-1-carboxamide (I, YM-175735) exhibited the most potent antiandrogenic activity. Compared to bicalutamide, YM-175735 is an approx. 4-fold stronger AR antagonist and has slightly increased antiandrogenic activity, suggesting that YM-175735 may be useful in the treatment of prostate cancer.

Ι

IT 262293-89-0P 262293-93-6P 262294-23-5P 262294-29-1P 262294-30-4P 262294-31-5P 854765-33-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-arylpiperazine-1-carboxamide derivs., a series of orally active nonsteroidal androgen receptor antagonists)

RN 262293-89-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

### Relative stereochemistry.

RN 262293-93-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

### Relative stereochemistry.

RN 262294-23-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-29-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-30-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-31-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-bromophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 854765-33-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-methylphenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

32

ACCESSION NUMBER:

2004:60487 CAPLUS

DOCUMENT NUMBER:

140:111431

TITLE:

Preparation of (2R,5S)-dimethylpiperazine derivatives

for treatment of prostate cancer

INVENTOR(S):

Taniguchi, Nobuaki; Imamura, Masakazu; Hayakawa, Masahiko; Kawaguchi, Kenichi; Kimura, Takenori; Kinoyama, Isao; Kaizawa, Hiroyuki; Okada, Minoru; Furutani, Takashi

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 46 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

Japanese

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.					DATE					
	WO 2004007471					A1 20040122			WO 2003-JP8860					20030711				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚŻ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG;
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,
			TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW				
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA 2492138					A1 20040122				CA 2003-2492138					20030711				
	AU 2003248052					A1 20040202			AU 2003-248052					20030711				
	EP 1557411					A1 20050727			EP 2003-764179					20030711				
-		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	TR,	BG.	CZ,	EE,	ΗU,	SK	
	US 2005261303					Al		2005	1124		US 2	005-	5211	19		2	0050	112
PRIORITY APPLN. INFO.:									_	JP 2	002-	2036	90		A 2	0020	712	
•											WO 2	003-	JP88	60	1	W 2	0030	711

GI

AB The title novel N-phenyl-(2R,5S)-dimethylpiperazine derivs. with general formula of I [wherein R1 = C1, F, Br, CN, Me, CF3, or alkoxy; R2 = H, F, or MeO; R3 = H or alkyl; Cy = (un)substituted Ph, pyridyl, pyrimidinyl, imidazopyridinyl, benzopyrazinyl, quinoxalinyl, quinolinyl, benzothiazolyl, isoquinolinyl, benzothiadiazolyl, indolidinyl, or tetrahydrobenzofuranyl; with exclusions] or salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 40 nM against transcription activation in rat. I are useful for the treatment of prostate cancer, prostate gland enlargement, etc.

```
648422-62-2P 648422-63-3P 648422-64-4P
IT
     648422-65-5P 648422-66-6P 648422-67-7P
     648422-68-8P 648422-70-2P 648422-71-3P
     648422-72-4P 648422-73-5P 648422-74-6P
     648422-75-7P 648422-77-9P 648422-79-1P
     648422-80-4P 648422-81-5P 648422-82-6P
     648422-83-7P 648422-84-8P 648422-85-9P
     648422-86-0P 648422-87-1P 648422-88-2P
     648422-89-3P 648422-90-6P 648422-91-7P
     648422-92-8P 648422-93-9P 648422-94-0P
     648422-95-1P 648422-96-2P 648422-97-3P
     648422-98-4P 648422-99-5P 648423-00-1P
     648423-01-2P 648423-02-3P 648423-03-4P
     648423-05-6P 648423-06-7P 648423-08-9P
     648423-10-3P 648423-11-4P 648423-12-5P
     648423-13-6P 648423-14-7P 648423-15-8P
     648423-16-9P 648423-17-0P 648423-18-1P
     648423-19-2P 648423-20-5P 648423-21-6P
     648423-22-7P 648423-23-8P 648423-24-9P
     648423-25-0P 648423-26-1P 648423-27-2P
     648423-28-3P 648423-29-4P 648423-30-7P
     648423-31-8P 648423-32-9P 648423-33-0P
     648423-34-1P 648423-35-2P 648423-36-3P
     648423-37-4P 648423-38-5P 648423-39-6P
     648423-40-9P 648423-41-0P 648423-42-1P
     648423-43-2P 648423-44-3P 648423-45-4P
     648423-46-5P 648423-47-6P 648423-48-7P
     648423-49-8P 648423-50-1P 648423-51-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
```

(drug candidate; preparation of dimethylpiperazine derivs. for treatment of

prostate cancer)

RN 648422-62-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-N-(4-cyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-63-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(4-cyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-64-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(4-cyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

·RN 648422-65-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(4-cyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-66-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-acetylphenyl)-4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-67-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-acetylphenyl)-4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-68-8 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-acetylphenyl)-4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-70-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-[4-(trifluoromethyl)phenyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-71-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-[4-[(trifluoromethyl)thio]phenyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-72-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-[4-(trifluoromethoxy)phenyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-73-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-74-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-(4-nitrophenyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-75-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-cyanophenyl)-4-(3,4-dicyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-77-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3,5-difluorophenyl)-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-79-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-80-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-N-(2-cyclopropyl-5-pyrimidinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-81-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-82-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-83-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-N-(6-cyano-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-84-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-85-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-(2-methylimidazo[1,2-a]pyridin-6-yl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-86-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-6-quinolinyl-, monohydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 648422-87-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-88-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(2-cyclopropyl-5-pyrimidinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-89-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-90-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-91-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(6-cyano-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-92-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-93-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(6-cyano-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-94-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-95-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-[6-(2,2,2-trifluoroethoxy)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-96-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2-cyclopropyl-5-pyrimidinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-97-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648422-98-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-(4-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648422-99-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluorophenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-00-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(2-cyclopropyl-5-pyrimidinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-01-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-02-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-03-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(6-cyano-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-05-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-6-isoquinolinyl-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-06-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-08-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(2-chloro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-10-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methylphenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-11-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(1,1-dimethylethoxy)phenyl]-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-12-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-cyclopropyl-5-pyrimidinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-13-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[2-(1,1-dimethylethyl)-5-pyrimidinyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-14-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-imidazo[1,2-a]pyridin-6-yl-2,5-dimethyl-, monohydrochloride, (2R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### HCl

RN 648423-15-8 CAPLUS

CN 1-Piperazinecarboxamide, N-1,2,3-benzothiadiazol-5-yl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-16-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-imidazo[1,2-a]pyridin-7-yl-2,5-dimethyl-, monohydrochloride, (2R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 648423-17-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-6-quinazolinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-18-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-cyclopropyl-6-quinazolinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-19-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,3-dihydro-1-oxo-1H-inden-5-yl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-20-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-6-quinoxalinyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-21-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3,5-dimethoxyphenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-22-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3,4-dicyanophenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-23-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3,4-dicyanophenyl)-2,5-dimethyl-N-(2-methyl-5-pyrimidinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-24-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-cyclopropyl-5-pyrimidinyl)-4-(3,4-dicyanophenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-25-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(1-cyanocyclopropyl)phenyl]-4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-26-1 CAPLUS

CN 1-Piperazinecarboxamide, N-6-benzothiazolyl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-27-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(1,3-dihydro-1-oxo-5-isobenzofuranyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-28-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-6-benzothiazolyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-29-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)-6-quinolinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-30-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-6-quinoxalinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-31-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)-6-quinoxalinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-32-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)-, (2R,5S)- (9CI) (CAINDEX NAME)

RN 648423-33-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-2,5-dimethyl-N-(2-methyl-6-benzothiazolyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-34-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluoro-5-methoxyphenyl)-N-(1,3-dihydro-1-oxo-5-isobenzofuranyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-35-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-chloro-4-cyanophenyl)-4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-36-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-chloro-2-cyanophenyl)-4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-37-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-38-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-chloro-2-cyanophenyl)-4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-39-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2-cyano-3-methylphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-40-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-6-quinoxalinyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-41-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-(2-methyl-6-quinolinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-42-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2-cyano-5-methylphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-43-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(4-cyano-2-methylphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-44-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(3-cyano-4-methylphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-45-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(5-cyano-2-methylphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-46-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-cyano-4,5-dimethoxyphenyl)-4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 648423-47-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(5-cyano-2-methoxyphenyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-48-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-2,5-dimethyl-N-6-quinolinyl-, monohydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

● HCl

RN 648423-49-8 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-cyano-2-(trifluoromethoxy)phenyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 648423-50-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-[2-cyano-4-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

648423-51-2 CAPLUS RN

1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-[4-cyano-2-CN (trifluoromethoxy)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

COPYRIGHT 2007 ACS on STN L4ANSWER 4 OF 5 CAPLUS

ACCESSION NUMBER:

2001:857479 CAPLUS

DOCUMENT NUMBER:

136:600

TITLE:

Pharmaceuticals containing antiandrogen cyanophenyl

INVENTOR(S):

Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi; Toshima, Hiroshi; Samizu, Kiyohiro; Kawanami, Eiji; Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Hiroaki; Miyasaki, Yoji; Nozawa, Shigenori; Okada, Minoru; Koutoku, Hiroshi; Ota,

Mitsuaki

PATENT ASSIGNEE(S): .

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 33 pp.

DOCUMENT TYPE:

CODEN: JKXXAF

LANGUAGE:

Patent

FAMILY ACC. NUM. COUNT:

Japanese

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2001328938	A	20011127	JP 2001-69833		20010313
PRIORITY APPLN. INFO.:			JP 2000-75008	A	20000317
OTHER SOURCE(S):	MARPAT	136:600			

$$\begin{array}{c|c}
R^{2} & & \\
R^{2} & & \\
N & & \\
N & & \\
R & & \\
R^{2} & & \\
N & & \\
N & & \\
R^{5} & \\$$

Pharmaceuticals, useful for treatment of prostatic cancer, prostatic hypertrophy, virilism, etc., contain cyanophenyl compds. I [R = cyano, NO2; R1 = H, halo, cyano, haloalkyl, NO2, etc.; R2-R4 = H, lower alkyl, (alkyl)carbamoyl, etc.; R5 = lower alkyl, arylalkoxy, CO2H, lower alkoxycarbonyl, etc.; X = CO, C(S), SO2; Y = bond, lower alkylene, CO, SO2; Z1, Z2 = CH, N; k, n = 1-3; m = 0, 1] or their salts. (2R,5S)-I (R = cyano, R1 = 3-CF3, R2 = 2-Me, R3 = 5-Me, k = 2, m = n = 1, X = CO, R4 = H, R5 = 2-bromo-4-pyridyl) (preparation given) in vitro bound to rat androgen receptor with Ki of 7.56 nM.

```
262293-89-0P 262293-91-4P 262293-92-5P
IT
     262293-93-6P 262293-94-7P 262293-95-8P
     262293-96-9P 262293-97-0P 262293-98-1P
     262294-02-0P 262294-08-6P 262294-12-2P
     262294-13-3P 262294-14-4P 262294-15-5P
     262294-21-3P 262294-22-4P 262294-23-5P
     262294-24-6P 262294-25-7P 262294-27-9P
     262294-28-0P 262294-29-1P 262294-30-4P
     262294-31-5P 262294-32-6P 262294-34-8P
     262294-35-9P 262294-36-0P 262294-37-1P
     262294-38-2P 262294-42-8P 262294-46-2P
     262294-47-3P 262294-48-4P 262294-49-5P
     262294-50-8P 262294-51-9P 262294-52-0P
     262294-55-3P 262294-61-1P 262294-62-2P
     262294-63-3P 262294-64-4P 262294-70-2P
     262294-73-5P 262294-75-7P 262294-76-8P
     262294-90-6P 262294-91-7P 262294-93-9P
     262294-96-2P 262294-97-3P 262294-98-4P
     262294-99-5P 262295-00-1P 262295-01-2P
     262295-04-5P 262295-08-9P 262295-09-0P
     262295-10-3P 262295-11-4P 262295-12-5P
     262295-13-6P 262295-14-7P 262295-15-8P
     262295-16-9P 262295-18-1P 262295-19-2P
     262295-20-5P 262295-24-9P 262295-25-0P
     262295-28-3P 262295-29-4P 262295-30-7P
     262295-31-8P 262295-32-9P 262295-33-0P
     262295-38-5P. 262295-39-6P 262295-41-0P
     262295-42-1P 262295-43-2P 262295-44-3P
     262295-46-5P 262295-47-6P 262295-48-7P
     262295-49-8P 262295-50-1P 262295-52-3P
```

262295-53-4P 262296-09-3P 375360-12-6P 375360-32-0P 375361-00-5P 375361-06-1P 375361-09-4P 375361-31-2P 375361-42-5P 375361-71-ODP, derivs. 375362-01-9P 375362-08-6P 375362-19-9P 375362-32-6P 375362-39-3P 375362-42-8P 375362-45-1P 375362-48-4P 375366-52-2P 375366-54-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cyanophényl compds. as antiandrogens) RN 262293-89-0 CAPLUS 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-CNfluorophenyl) -2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

#### Relative stereochemistry.

RN 262293-91-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(trifluoromethoxy)phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

# Relative stereochemistry.

RN 262293-92-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-nitrophenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-93-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN. 262293-94-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262293-95-8 CAPLUS

CN Benzoic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-96-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-acetylphenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262293-97-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2,4,6-trifluorophenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-98-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(methylthio)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-02-0 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-cyanophenyl)-4-(3,4-dicyanophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-08-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-cyclohexyl-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-12-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluorophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-13-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-14-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-15-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methylphenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-21-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-phenyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-22-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(trifluoromethyl)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-23-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-24-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-25-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-27-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-phenoxyphenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-28-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(1-methylethyl)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

<12/04/2007>

Erich Leese

RN 262294-29-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

'Relative stereochemistry.

RN 262294-30-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-31-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-bromophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-32-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-34-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3,5-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-35-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-36-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

'RN 262294-37-1 CAPLUS'

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-38-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3,4-dicyanophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-42-8 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-bromo-4-fluorophenyl)-4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-46-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-3-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-47-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluoro-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-48-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-49-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(6-methyl-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-50-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-51-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-52-0 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-cyano-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-55-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-pyrazinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-61-1 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-bromo-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262294-62-2 CAPLUS

CN Carbamic acid, [4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262294-63-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[2-(1H-imidazol-1-yl)-4-pyridinyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-64-4 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-benzoyl-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-70-2 CAPLUS

CN 1-Piperazinecarboxamide, N-6-benzothiazolyl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-73-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(6-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262294-75-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-nitro-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-76-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(3-methyl-2-pyridinyl)-, monohydrochloride, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 262294-90-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-aminophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-91-7 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(acetylamino)phenyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-93-9 CAPLUS

CN Benzoic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-96-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-[(methylamino)carbonyl]phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-97-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[4-[(dimethylamino)carbonyl]phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-98-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-99-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-[(methylamino)sulfonyl]phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-00-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-fluorophenyl)-N,2,5-trimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-01-2 CAPLUS

CN 1-Piperazinecarboxamide, N-acetyl-4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-04-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(methylsulfonyl)phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262295-08-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-chloro-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-09-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ● HCl

RN 262295-10-3 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-11-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-12-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(6-fluoro-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-13-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(methylthio)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-14-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-cyano-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-15-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-16-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

● HCl

RN 262295-18-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-phenoxy-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-19-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[2-(1,1-dimethylethyl)-4-pyridinyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-20-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylthio)-3-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-24-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-25-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-4-pyridinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-28-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-chloro-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-29-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(6-methyl-3-pyridinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-30-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(2,2,2-trifluoroethoxy)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-31-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,6-dimethyl-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-32-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(1-methylethyl)-4-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-33-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(trifluoromethyl)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-38-5 CAPLUS

CN ·1-Piperazinecarboxamide, N-[6-(acetylmethylamino)-3-pyridinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

. 10/513699

RN 262295-39-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-quinolinyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-41-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-6-methyl-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295,42-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-cyclopropyl-6-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-43-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)-4-pyridinyl]-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-44-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-ethyl-6-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-46-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[2-(4-morpholinyl)ethoxy]-4-pyridinyl]-, dihydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-47-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-acetyl-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-48-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-amino-4-pyrimidinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-49-8 CAPLUS

CN 1-Piperazinecarboxamide, N-[2-(acetylamino)-4-pyrimidinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-50-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[(methylsulfonyl)amino]-4-pyrimidinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN · 262295-52-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-amino-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-53-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[6-(aminosulfonyl)-3-pyridinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262296-09-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylsulfonyl)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 375360-12-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-2,5-dimethyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 375360-32-0 .CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 375361-00-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$^{\text{CO}_2\text{H}}$$
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 
 $^{\text{N}}$ 

RN 375361-09-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[(methylamino)carbonyl]-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 375361-31-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(methylsulfonyl)-4-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 375361-42-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluorophenyl)-N-(2,4-dibromophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 375361-71-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-3-pyridinyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 375362-01-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, methyl ester, rel- (9CI) (CA INDEX NAME)

RN 375362-08-6 CAPLUS

CN 1-Piperazinecarboxamide, N-2,1,3-benzoxadiazol-4-yl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 375362-19-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(1-methylethoxy)-4-pyridinyl]-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 375362-32-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-amino-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 375362-39-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(6-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 375362-42-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(2-ethyl-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 375362-45-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 375362-48-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 375366-52-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-chloro-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 375366-54-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:210118 CAPLUS

DOCUMENT NUMBER: 132:237107

TITLE: Preparation of piperazino-substituted cyanophenyl

derivatives as antiandrogen agents

INVENTOR(S): Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi;

Toyoshima, Akira; Samizu, Kiyohiro; Kawaminami, Eiji;

Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Masaaki; Miyazaki, Yoji; Nozawa,

Eisuke; Okada, Minoru; Koutoku, Hiroshi; Ohta,

Mitsuaki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; et al.

SOURCE:

PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.										APPLICATION NO.							DATE			
	wo	•						•		WO 1999-JP5149						19990921					
		W:	ΑĖ,	AL,	AM,	AT,	· AU ,	AZ,	BA,	BB,	BG	3, 3	BR,	BY,	CA,	CH,	CN	, CR,	CU,		
																		, ID,			
																		, LV,			
																		, SI,			
																		, AM,			
								TJ,				•		•	,			,			
		RW:	GH,	GM,	KE,	LS,	MW ,	SD,	SL,	SZ,	TZ	3, 1	UG,	ZW,	AT,	BE,	CH	CY,	DE,		
•																		, BJ,			
								GW,								•			·		
	CA					A1	·	20000330 CA 1999-2345146								19990921					
	ΑU								AU 1999-56544												
	ΑU	754529			B2 20021121										•						
	BR	9914018								BR 1999-14018					19990921						
	ΕP	1122242			A1		2001	808,0		ΕP	19	99-9				19990921					
																		, MC,	PT,		
			IE,	FI																	
	JР	3390744			B2		20030331			JP 2000-574073					19990921						
		2003137873									JP 2002-328498					19990921					
	CN	1129	581					2003	1203		CN	19	99-8	3111:	98			19990	921		
	RU	2221	785			C2		2004	0120		RU	20	01-3	1076	12			19990	921		
	US	6673	799			В1		2004	0106		US	20	01-	7876	72			20010	321		
	US	2004	0100	37		A1		2004	0115		US	20	03-6	5083	41			20030	630		
PRIOR	PRIORITY APPLN. INFO.:							•		JP	19	98-2	2675	80		A	19980	922			
											JP	19	99-:	1553	98		Α	19990	602		
											JP	20	00-!	5740	73	,	<b>A</b> 3	19990	921		
		•									WO	19	99-0	JP51	49		W	19990	921		
•					•						US	20	01-	7876	72 -		<b>A</b> 3	20010	321		
OTHER	OTHER SOURCE(S):					MAR	PAT	132:	2371	27											

OTHER SOURCE(S):

MARPAT 132:237107

Ι

GΙ

The title compds. I [T1 = (CH2)n; T2 = (CH2)k; T3 = (NR4Y)mR5; R = cyano,AB etc.; R1 = H, halo, etc.; R2 - R4 = H, alkyl, etc.; R5 = alkyl, etc.; k, n = 1 - 3; m = 0 or 1; X = CO, etc.; Z1, Z2 = CH, N; a proviso is given; Y = CHalkylene, etc.] are prepared These derivs. exhibit antiandrogen activities

```
and are therefore useful in the prevention or treatment of prostatic
     cancer, prostatic hypertrophy and so forth. In an in vitro assay for
     inhibition of androgen binding to androgen receptors, (2R,5S)-N-(2-bromo-4-
    pyridyl)-4-(4-cyano-3-trifluoromethylphenyl)-2,5-dimethylpiperazine-1-
     carboxamide showed the Ki value of 7.5 nM.
     262293-89-0P 262293-91-4P 262293-92-5P
TT
     262293-93-6P 262293-94-7P 262293-95-8P
     262293-96-9P 262293-97-0P 262293-98-1P
     262294-02-0P 262294-08-6P 262294-12-2P
     262294-13-3P 262294-14-4P 262294-15-5P
     262294-21-3P 262294-22-4P 262294-23-5P
     262294-24-6P 262294-25-7P 262294-27-9P
     262294-28-0P 262294-29-1P 262294-30-4P
     262294-31-5P 262294-32-6P 262294-34-8P
     262294-35-9P 262294-36-0P 262294-37-1P
     262294-38-2P 262294-42-8P 262294-46-2P
     262294-47-3P 262294-48-4P 262294-49-5P
     262294-50-8P 262294-51-9P 262294-52-0P
     262294-55-3P 262294-57-5P 262294-61-1P
     262294-62-2P 262294-63-3P 262294-64-4P
     262294-66-6P 262294-70-2P 262294-72-4P
     262294-73-5P 262294-75-7P 262294-76-8P
     262294-83-7P 262294-90-6P 262294-91-7P
     262294-92-8P 262294-93-9P 262294-94-0P
     262294-95-1P 262294-96-2P 262294-97-3P
     262294-98-4P 262294-99-5P 262295-00-1P
     262295-01-2P 262295-04-5P 262295-05-6P
     262295-06-7P 262295-08-9P 262295-09-0P
     262295-10-3P 262295-11-4P 262295-12-5P
     262295-13-6P 262295-14-7P 262295-15-8P
     262295-16-9P 262295-17-0P 262295-18-1P
     262295-19-2P 262295-20-5P 262295-24-9P
     262295-25-0P 262295-28-3P 262295-29-4P
     262295-30-7P 262295-31-8P 262295-32-9P
     262295-33-0P 262295-36-3P 262295-38-5P
     262295-39-6P 262295-40-9P 262295-41-0P
     262295-42-1P 262295-43-2P 262295-44-3P
     262295-45-4P 262295-46-5P 262295-47-6P
     262295-48-7P 262295-49-8P 262295-50-1P
     262295-51-2P 262295-52-3P 262295-53-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of piperazino-substituted cyanophenyl derivs. as antiandrogen
        agents)
     262293-89-0 CAPLUS
RN
     1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-
     fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)
```

RN 262293-91-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(trifluoromethoxy)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-92-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-nitrophenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262293-93-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-94-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262293-95-8 CAPLUS

CN Benzoic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-96-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-acetylphenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262293-97-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2,4,6-trifluorophenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262293-98-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(methylthio)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-02-0 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-cyanophenyl)-4-(3,4-dicyanophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-08-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-cyclohexyl-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-12-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-fluorophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-13-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-bromo-4-cyanophenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-14-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methoxyphenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-15-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-cyano-3-methylphenyl)-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-21-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-phenyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-22-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(trifluoromethyl)phenyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-23-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-24-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-25-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-27-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(4-phenoxyphenyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-28-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(1-methylethyl)phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-29-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-30-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-31-5 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-bromophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-32-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-34-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3,5-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-35-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-36-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(3-methoxyphenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-37-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-38-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3,4-dicyanophenyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-42-8 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-bromo-4-fluorophenyl)-4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-46-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-3-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-47-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluoro-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

, Relative stereochemistry.

RN 262294-48-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-49-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(6-methyl-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-50-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-51-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-cyanophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-52-0 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-cyano-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-55-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-pyrazinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-57-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-dibromophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-61-1 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-bromo-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262294-62-2 CAPLUS

CN Carbamic acid, [4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262294-63-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[2-(1H-imidazol-1-yl)-4-pyridinyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-64-4 CAPLUS

CN 1-Piperazinecarboxamide, N-(3-benzoyl-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-66-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[4-(1H-imidazol-1-yl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-70-2 CAPLUS

CN 1-Piperazinecarboxamide, N-6-benzothiazolyl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-72-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-pyridinyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-73-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(6-methoxy-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262294-75-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-nitro-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-76-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(3-methyl-2-pyridinyl)-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

## HCl

RN 262294-83-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-chloro-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-90-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(4-aminophenyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-91-7 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(acetylamino)phenyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-93-9 CAPLUS
CN Benzoic acid, 4-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

RN .262294-94-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262294-95-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[(methylamino)carbonyl]-4-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262294-96-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-[(methylamino)carbonyl]phenyl]-, (2R,5S)-rel-(9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 262294-97-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[4-[(dimethylamino)carbonyl]phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262294-98-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(aminocarbonyl)phenyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

. Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{HN} \\ \text{NC} \\ \text{CF}_3 \end{array}$$

RN 262294-99-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-[(methylamino)sulfonyl]phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262295-00-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(4-fluorophenyl)-N,2,5-trimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-01-2 CAPLUS

CN 1-Piperazinecarboxamide, N-acetyl-4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,4-difluorophenyl)-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-04-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[4-(methylsulfonyl)phenyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-05-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(methylsulfinyl)-4-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-06-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylsulfinyl)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-08-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-chloro-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-09-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

● HCl

Absolute stereochemistry.

RN 262295-11-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5dimethyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-12-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(6-fluoro-3-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-13-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(methylthio)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-14-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-cyano-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-15-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-fluoro-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-16-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-4-cyanophenyl)-2,5-dimethyl-N-4-pyridinyl-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 262295-17-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(2,2,2-trifluoroethoxy)-3-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

. RN 262295-18-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-phenoxy-3-pyridinyl)-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-19-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-[2-(1,1-dimethylethyl)-4-pyridinyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-20-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylthio)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-24-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-25-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(2-methyl-4-pyridinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-28-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-chloro-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-29-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-(6-methyl-3-pyridinyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-30-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(2,2,2-trifluoroethoxy)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-31-8 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2,6-dimethyl-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-32-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(1-methylethyl)-4-pyridinyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-33-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(trifluoromethyl)-4-pyridinyl]-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-36-3 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[(2R,5S)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-1-piperazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 262295-38-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[6-(acetylmethylamino)-3-pyridinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-39-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-2-quinolinyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-40-9 CAPLUS

CN 1-Piperazinecarboxamide, N-2,1,3-benzoxadiazol-5-yl-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-41-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-methoxy-6-methyl-4-pyridinyl)-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-42-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-cyclopropyl-6-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-43-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-(4-morpholinyl)-4-pyridinyl]-, monohydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-44-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-N-(2-ethyl-6-methoxy-4-pyridinyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

RN 262295-45-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[3-(1-methylethoxy)phenyl]-, monohydrochloride, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 262295-46-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[2-(4-morpholinyl)ethoxy]-4-pyridinyl]-, dihydrochloride, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-47-6 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-acetyl-4-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262295-48-7 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-amino-4-pyrimidinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-49-8 CAPLUS

CN 1-Piperazinecarboxamide, N-[2-(acetylamino)-4-pyrimidinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-50-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[2-[(methylsulfonyl)amino]-4-pyrimidinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

RN 262295-51-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-amino-4-pyrimidinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 262295-52-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(6-amino-3-pyridinyl)-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

RN 262295-53-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[6-(aminosulfonyl)-3-pyridinyl]-4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{HN} \\ \text{N} \\ \text{NC} \\ \text{CF}_3 \end{array}$$

IT 262296-09-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperazino-substituted cyanophenyl derivs. as antiandrogen agents)

RN 262296-09-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[6-(methylsulfonyl)-3-pyridinyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D HIS

(FILE 'HOME' ENTERED AT 14:58:59 ON 03 JUL 2007)

FILE 'REGISTRY' ENTERED AT 15:01:27 ON 03 JUL 2007

L1 STRUCTURE UPLOADED

L2 12 S L1

L3 242 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:02:10 ON 03 JUL 2007

L4 5 S L3 FULL